

THE
MERCK
INDEX
★
ELEVENTH EDITION

Centennial Edition

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THE MERCK INDEX

AN ENCYCLOPEDIA OF
CHEMICALS, DRUGS, AND BIOLOGICALS

ELEVENTH EDITION

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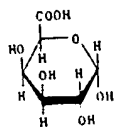
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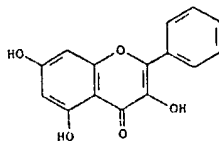


α -Form, monohydrate, needles, mp 159°. $[\alpha]_D^{20} +98.0^\circ$ (50.9° (water). Soluble in water; slightly sol in hot alcohol. Practically insol in ether.

β -Form, mp 166°. $[\alpha]_D^{20} +27^\circ$ (water). Phenylhydrazones, mp 141°.

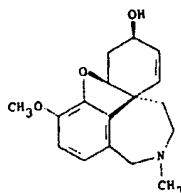
4243. Galanga. Galangal; colic root; East India root; Chinese ginger. Dried rhizome of *Alpinia officinarum* Hance. Zingiberaceae. Habit. China. Constit. Volatile oil, resin, kaempferid, galangin, dioxyflavanol, galangol.

4244. Galangin. 3,5,7-Trihydroxy-2-phenyl-4H-1-benzopyran-4-one; 3,5,7-trihydroxyflavone; norisalpinin. $C_{15}H_{10}O_5$; mol wt 270.25. C 66.67%, H 3.73%, O 29.60%. Isoln from galanga root, *Alpinia officinarum*. Hance and characterization: E. Jahns, Ber. 14, 2807 (1881). Prepn: T. Heap, R. Robinson, J. Chem. Soc. 129, 2336 (1926); J. J. Chavan, R. Robinson, ibid. 1933, 368. Mutagenicity studies: J. T. MacGregor, L. Jurd, Mutat. Res. 54, 297 (1978); J. P. Brown, P. S. Dietrich, ibid. 66, 223 (1979).



Yellowish needles from ethanol, mp 214-215°. Moderate sol in ethanol, ether; insol in water. Very sol in chloroform, benzene.

4245. Galanthamine. 4a,5,9,10,11,12-Hexahydro-3-methoxy-11-methyl-6H-benzofuro[3a,3,2-ef][2]benzazepin-6-ol; galantamine; lycoremine; Jilkon. $C_{17}H_{21}NO_2$; mol wt 287.35. C 71.05%, H 7.37%, N 4.87%, O 16.70%. From Caucasian snowdrops, *Galanthus woronowii* Vel. Amaryllidaceae. Proskurnina, Yakovleva, J. Gen. Chem. 22, 1899 (1952); from *Narcissus* spp. Boit et al., Ber. 90, 725, 2197 (1957). Structure work: Kobayashi et al., Chem. & Ind. (London) 1956, 177. Synthesis and stereochemistry: Barton, Kirby, Proc. Chem. Soc. 1960, 392; J. Chem. Soc. 1962, 806; Williams, Rogers, Proc. Chem. Soc. 1964, 357. Alternate total synthesis: Kametani et al., J. Chem. Soc. (C) 1971, 1043. Asymmetric synthesis of (+)- and (-)-forms from L-tyrosine: K. Shimizu et al., Heterocycles 8, 277 (1977). Biosynthesis studies: D. H. R. Barton et al., J. Chem. Soc. 1963, 4545; W. Döbke, Heterocycles 6, 551 (1977).



Crystals from benzene, mp 126-127°. $[\alpha]_D^{20} -118.8^\circ$ (c = 1.378 in ethanol). Monoacidic base. Fairly sol in hot water; freely sol in alcohol, acetone, chloroform. Less sol in benzene, ether.

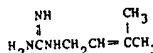
Hydrochloride, $C_{17}H_{21}NO_2 \cdot HCl$, crystals from water, dec 256-257°. Sparingly sol in cold, more sol in hot water. Very sparingly sol in alcohol, acetone.

Hydrobromide, $C_{17}H_{21}BrNO_2$, Nivalin. Crystals from

water, dec 246-247°. $[\alpha]_D^{20} -93.1^\circ$ (c = 0.1015 in 15 ml H_2O). LD₅₀ i.v. orally in mice: 8.0, 18.7 mg/kg. Umarova et al., C.A. 66, 53993v (1967).

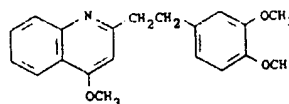
THERAP CAT: Cholinesterase inhibitor.

4246. Galegine. (3-Methyl-2-butenyl)guanidine; N-3,3-dimethylallylguanidine; isoamylenguanidine. $C_6H_{13}N_3$; mol wt 127.18. C 56.66%, H 10.30%, N 33.04%. Isoprenoid guanidine deriv from seeds of *Galega officinalis* L., Leguminosae: Tanret, Compt. Rend. 158, 1182, 1426 (1914); 159, 108 (1914); Markovic, Dittertova, Chem. Zvesti 9, 576 (1955), C.A. 50, 8137d (1956). Structure: Barger, White, Biochem. J. 17, 827 (1923). Synthesis: Späth, Spitz, Ber. 58, 2273 (1925); Babor, Jezo, Chem. Zvesti 8, 18 (1954), C.A. 49, 7495f (1955). Metabolic effects: G. Weitzel et al., Z. Physiol. Chem. 353, 535 (1972). Effects on mitochondria: B. Lotina et al., Arch. Biochem. Biophys. 159, 520 (1973). Bio-synthetic study: J. Steiniger, G. Reuter, Biochem. Physiol. Pflanz. 166, 275 (1974). Review: Braun, J. Chem. Ed. 8, 2175 (1931).



Hygroscopic, bitter crystals. mp 60-65°. Freely sol in water or alcohol, slightly in ether. Keep well closed.

4247. Galipine. 2-[2-(3,4-Dimethoxyphenyl)ethyl]-4-methoxyquinoline. $C_{20}H_{21}NO_3$; mol wt 323.38. C 74.28%, H 6.55%, N 4.33%, O 14.84%. From Angostura bark (*Cusparia trifoliata* Engl., Rutaceae): Körner, Böhringer, Gazz. Chim. Ital. 13, 363 (1883); Tröger, Krosenberg, Arch. Pharm. 250, 494 (1912). Synthesis: Späth, Eberstaller, Ber. 57, 1687 (1924); Späth, Piki, Ber. 62, 2244 (1929); Schlager, Leeb, Monatsh. 81, 714 (1950).

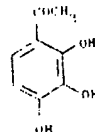


Prismatic needles from alc, mp 116°. Soluble in alcohol, benzene, chloroform, ether; slightly sol in water, petr ether. The salts are more sol than those of cusparine.

Hydrochloride tetrahydrate, $C_{20}H_{21}NO_3 \cdot HCl \cdot 4H_2O$, plates, become anhydr at 100°, mp 165°.

Methiodide, $C_{20}H_{21}NO_3 \cdot CH_3I$, yellow needles, mp 146°.

4248. Gallacetophenone. 1-(2,3,4-Trihydroxyphenyl)ethanone; 2',3',4'-trihydroxyacetophenone; Alizarine yellow C; C.I. 57000. $C_{12}H_8O_5$; mol wt 168.14. C 57.14%, H 4.79%, O 38.06%. Prepn: Hart, Woodruff, J. Am. Chem. Soc. 58, 1957 (1936); Campbell, Coppinger, U.S. pat. 2,686,123 (1954 to U.S. Secy. Agr.); Knowles, U.S. pat. 2,763,691 (1956 to Kodak); Price, Israelstam J. Org. Chem. 29, 2800 (1964).



White to brownish-gray, cryst powder, mp 173°. uv max (methanol): 237, 296 nm (ϵ 8560, 12,500). Sol in 600 parts cold water, more in hot water; sol in alcohol, ether, soln of sodium acetate.

USE: Antiseptic.

4249. Gallamine Triethiodide. 2,2',2''-[1,2,3-Benzene-triyltris(oxy)]tris[N,N,N-triethylethanaminium] triiodide; [p-phenenyltris(oxyethylene)]tris(triethylammonium triiodide); 1,2,3-tris(2-triethylammonium ethoxy)benzene triiodide; 1,2,3-tris(2-diethylaminoethoxy)benzene tris(ethyl iodide); tri(2-diethylaminoethoxy)-1,2,3-benzene triiodide.

Consult the cross index before using this section.

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20°; acetone 43.5, benzene 28.9, CHCl_3 24.0, ether 20.8, ethanol 6.4. Insol in water. LD_{50} in male, female rats (mg/kg): 88, 91 orally (Gaines).

Human Toxicity: Poisoning may occur by ingestion, inhalation, or percutaneous absorption. **Acute:** Dizziness, headache, nausea, vomiting, diarrhea, tremors, weakness, convulsions, dyspnea, cyanosis, circulatory collapse. **Chronic:** Hepatic damage has occurred in exptl animals. Topical use may cause local sensitivity reactions. Vapors may irritate eyes, nose, throat. See: *Clinical Toxicology of Commercial Products*, R. E. Gosselin et al., Eds. (Williams & Wilkins, Baltimore, 5th ed., 1984) Section III, pp 239-241. Lindane and other hexachlorocyclohexane isomers may reasonably be anticipated to be carcinogens: *Fourth Annual Report on Carcinogens* (NTP 85-002, 1985) p 123.

USE: Insecticide.

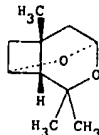
THERAP CAT: Pediculicide; scabicide.

THERAP CAT (VET): Ectoparasiticide.

5380. Lindlar Catalyst. Pd-Pb- CaCO_3 . Prep: Lindlar. *Helv. Chim. Acta* 35, 446 (1952); Lindlar, Dubuis cited by Fieser. *Fieser, Reagents for Organic Synthesis* (New York, 1967) p 566.

USE: In selective hydrogenation of triple bonds to cis-double bonds.

5381. Lineatin. $[(1R-(1\alpha, 2\beta, 5\alpha, 7\beta))-3, 3, 7\text{-Trimethyl-4, 9-dioxatricyclo[3.3.1.0}^{2,7}\text{]nonane: 3, 3, 7-trimethyl-2, 9-dioxatricyclo[3.3.1.0}^{2,7}\text{]nonane; 4, 6, 6-lineatin. } \text{C}_{10}\text{H}_{16}\text{O}_2$; mol wt 168.24. C 71.39%, H 9.59%, O 19.02%. Insol of the unique tricyclic aggregation pheromone from ambrosia beetles. *Trypodendron lineatum* (Olivier): J. G. MacConnell et al., *J. Chem. Ecol.* 3, 549 (1977). Synthesis of (\pm)-form: K. Mori, M. Sasaki, *Tetrahedron Letters* 1979, 1329; K. N. Slessor et al., *J. Org. Chem.* 45, 2290 (1980); K. Mori et al., *Tetrahedron Letters* 23, 1921 (1982); L. Skattebol, Y. Stenstrom, *ibid.* 24, 3021 (1983); B. D. Johnston et al., *J. Org. Chem.* 50, 114 (1985). Synthesis of racemate and optical isomers: K. Mori, M. Sasaki, *Tetrahedron* 36, 2197 (1980). Short stereoselective synthesis: I. Aljancic-Solaja et al., *Helv. Chim. Acta* 70, 1302 (1987). Comparative activity of the isomers: J. H. Borden et al., *Can. Entomol.* 112, 107 (1980).



Oil, bp₁₀ 70°. $[\alpha]_D^{25} +66.3^\circ$ ($c = 3.1$ in CHCl_3).

USE: Insect sex attractant.

5382. Linoleic Acid. (Z,Z)-9,12-Octadecadienoic acid; 9,12-linoleic acid; linolic acid. $\text{C}_{18}\text{H}_{32}\text{O}_2$; mol wt 280.44. C 77.09%, H 11.50%, O 11.41%. An essential fatty acid, q.v. Major constituent of many vegetable oils, e.g., cottonseed, soybean, peanut, corn, sunflower seed, safflower, poppy seed, linseed, and perilla oils, where it occurs as a glyceride. Characteristic ingredient of semi-drying oils. Isolin: Swern, Parker, *J. Am. Oil Chem. Soc.* 30, 5 (1953); Parker et al., *Biochem. Prepn.* 4, 86 (1955); McCutcheon, *Org. Syn. coll. vol. III*, 526 (1955). Summary of work on structure: T. P. Hilditch, *The Chemical Constitution of Natural Fats* (Chapman & Hall, London, 2nd ed. 1956). Synthesis: Raphael, Sondheimer, *J. Chem. Soc.* 1950, 2102; Gensler, Thomas, *J. Am. Chem. Soc.* 73, 4601 (1951); Walborsky et al., *ibid.* 2590; Nigam, Weedon, *J. Chem. Soc.* 1956, 4052; Osbond, Wickens, *Chem. & Ind. (London)* 1959, 1288. Review of physiological role in mammals: H. S. Hansen, *Trends Biochem. Sci.* 11, 263 (1986).



Colorless oil. Easily oxidized by air, cannot be distilled without decompn. Storage in ester form is recommended.

d_4^{20} 0.9038; d_4^{25} 0.9007. mp -12° . bp₁₄ 202°; bp₁₆ 230°. n_D^{20} 1.4715; n_D^{25} 1.4699; n_D^{30} 1.4683; n_D^{35} 1.4588. Iodine value: 181.1. Thiocyanogen value 96.7. Freely sol in ether. Sol in abs alc. One ml dissolves in 10 ml petr ether. Miscible with dimethylformamide, fat solvents, oils.

Aluminum salt, $\text{Al}(\text{C}_{18}\text{H}_{31}\text{O}_2)_3$. Yellow lumps or powder; linseed oil odor. Practically insol in water. Sol in oils, fixed alkali hydroxides.

Methyl Ester see Methyl Linoleate.

Ethyl Ester see Ethyl Linoleate.

Cyclohexylamide, $\text{C}_{24}\text{H}_{43}\text{NO}$. linolexamide, N-cyclohexyl-linoleamide. Clinolamide.

USE: Manuf paints, coatings, emulsifiers, vitamins. Aluminum salt used to manuf lacquers.

THERAP CAT: Nutrient (essential fatty acid).

5383. Linolenic Acid. (Z,Z,Z)-9,12,15-Octadecatrienoic acid; α -linolenic acid. $\text{C}_{18}\text{H}_{30}\text{O}_2$; mol wt 278.42. C 77.65%, H 10.86%, O 11.49%. An essential fatty acid, q.v. Occurs as the glyceride in most drying oils. Synthesis: Nigam, Weedon, *J. Chem. Soc.* 1956, 4049; Osbond, Wickens, *Chem. & Ind. (London)* 1959, 1288. Biosynthetic studies: C. G. Kannangara et al., *Biochem. Biophys. Res. Commun.* 52, 648 (1973); B. S. Jacobson et al., *ibid.* 1190; C. J. Bedord et al., *Arch. Biochem. Biophys.* 185, 15 (1978). Effects on lipid metabolism in rat tissue: M. L. Garg et al., *Lipids* 23, 847 (1988). Review of dietary linolenic acid in mammals: J. Tinoco et al., *ibid.* 14, 166-171 (1979); in man: N. Zöllner, *Prog. Lipid Res.* 25, 177-180 (1986).



Colorless liquid. d_4^{25} 0.914. bp₁ 230-232°. Insol in water. Sol in organic solvents.

THERAP CAT: Nutrient (essential fatty acid).

5384. γ -Linolenic Acid. (Z,Z,Z)-6,9,12-Octadecatrienoic acid; cis-6,cis-9,cis-12-octadecatrienoic acid; gamolenic acid; GLA. $\text{C}_{18}\text{H}_{30}\text{O}_2$; mol wt 278.44. C 77.65%, H 10.86%, O 11.49%. Polyunsaturated fatty acid produced in the body as the Δ^6 -desaturase metabolite of linoleic acid, q.v. Converted to dihomo- γ -linolenic acid, a biosynthetic precursor of monoenoic prostaglandins such as PGE_1 . Present to varying extents in the fatty acid fraction of evening primrose oil (7-10%), in borage oil (18-26%), in black currant oil (15-20%) and in oils from different fungal sources (6-24%). Isolin from evening primrose oil, q.v.: A. Heiduschka, K. Luft, *Arch. Pharm.* 257, 33 (1919). Proposed structure: Eibner et al., *Chem. Umschau*, 34, 312 (1927). Confirmation of structure: J. P. Riley, *J. Chem. Soc.* 1949, 2728. Discussion of occurrence, esp. in fungi: R. Shaw, *Biochim. Biophys. Acta* 98, 230 (1965). Synthesis: J. M. Osbond et al., *J. Chem. Soc.* 1961, 2779; J. M. Osbond, *ibid.* 5270. Metabolism studies: J. F. Mead, D. R. Howton, *J. Biol. Chem.* 229, 575 (1957); K. J. Stone et al., *Lipids* 14, 174 (1979). Effect of source on essential fatty acid and prostanoid metabolite formation: D. K. Jenkins et al., *Med. Sci. Res.* 16, 525 (1988).



Hexabromide deriv. $\text{C}_{18}\text{H}_{30}\text{Br}_6\text{O}_2$, crystals from ethyl methyl ketone, mp 201-202°.

USE: Nutrient.

THERAP CAT: In treatment of atopic eczema.

5385. Linseed. Flaxseed; linum. Dried ripe seeds of *Linum usitatissimum* L., Linaceae. Source of linseed oil. Constit. 30-40% oil, about 6% mucilage, about 25% proteins and linamarin.

USE: Emollient.

THERAP CAT (VET): Poultice (crushed seeds), demulcent (boiled in water).

5386. Linseed Oil. A drying oil obtained by expression of linseed. Constit. Glycerides of linolenic, linoleic, oleic, stearic, palmitic and myristic acids. Ref: T. P. Hilditch, *The Chemical Constitution of Natural Fats* (London, 3rd ed.,